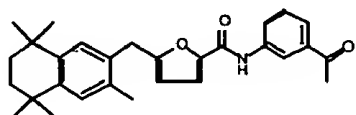
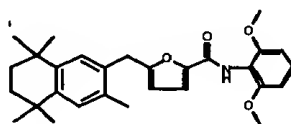


6. A compound having a formula selected from the group consisting of:



and

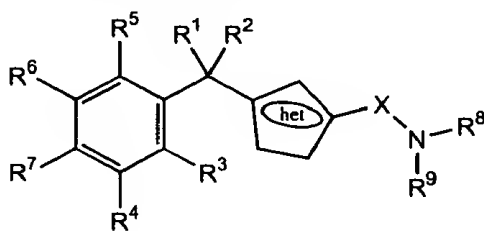


or a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.

7. A pharmaceutical composition comprising: a therapeutically effective amount of a compound, pharmaceutically acceptable salt, multimer, prodrug, or active metabolite as defined in any of claims 1-6; and a pharmaceutically acceptable carrier or diluent.

8. A method for regulating the secretion of gonadotropins in mammals, comprising administering a therapeutically effective amount of a compound, pharmaceutically acceptable salt, multimer, prodrug, or active metabolite as defined in any of claims 1-6.

9. A compound of the Formula I:



I

where X is selected from C=O, C=S, S=O, and S(O)<sub>2</sub>;



is a 5-membered heterocyclic ring containing from 1 to 4 heteroatoms selected from N, O, and S, wherein the ring may be saturated, partially unsaturated, or fully unsaturated, and may be aromatic;

$R^1$  and  $R^2$  are independently selected from H and lower alkyl;

$R^3$  is selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl,  $CH_2OR$ , OR, and  $C(O)OR$ , where R is selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, and heteroaryl, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

$R^4$  and  $R^5$  are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl,  $CH_2OR$ , OR, and  $C(O)OR$ , where R is as defined above; and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

$R^6$  and  $R^7$  are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl,  $CH_2OR$ , OR, and  $C(O)OR$ ; where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12; or  $R^6$  and  $R^7$  taken together with the atoms to which they are bonded form an optionally substituted 5- or 6-membered ring optionally having up to four heteroatoms selected from O, N, and S;

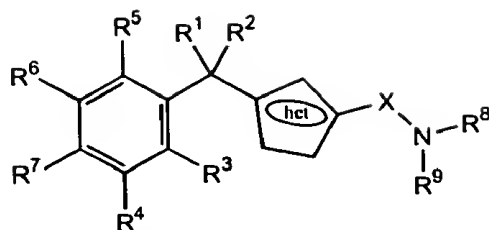
wherein at least one of  $R^3$ ,  $R^4$ ,  $R^5$ ,  $R^6$ , and  $R^7$  is other than hydrogen;

$R^8$  is a lipophilic moiety selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl,  $CH_2OR$ , OR, and  $C(O)OR$ , where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 6 to 20; and

$R^9$  is selected from H and substituted and unsubstituted alkyl;

or a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.

10. A compound of Formula I:



I

where X is selected from C=O, C=S, S=O, and S(O)<sub>2</sub>;



is a 5-membered heterocyclic ring containing from 1 to 4 heteroatoms selected from N, O, and S, wherein the ring may be saturated, partially unsaturated, or fully unsaturated, and may be aromatic;

R<sup>1</sup> and R<sup>2</sup> are independently selected from H and lower alkyl;

R<sup>3</sup> is selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH<sub>2</sub>OR, OR, and C(O)OR, where R is selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, and heteroaryl, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

R<sup>4</sup> and R<sup>5</sup> are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH<sub>2</sub>OR, OR, and C(O)OR, where R is as defined above; and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

R<sup>6</sup> and R<sup>7</sup> are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH<sub>2</sub>OR, OR, and C(O)OR; where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12; or R<sup>6</sup> and R<sup>7</sup> taken together with the atoms to which they are bonded form an optionally substituted 5- or 6-membered ring optionally having up to four heteroatoms selected from O, N, and S;

wherein at least one of R<sup>3</sup>, R<sup>4</sup>, R<sup>5</sup>, R<sup>6</sup>, and R<sup>7</sup> is other than hydrogen;

R<sup>8</sup> is a lipophilic moiety selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH<sub>2</sub>OR, OR, and C(O)OR,

where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 6 to 20; and

$R^9$  is selected from H and substituted and unsubstituted alkyl;

or  $R^1$  or  $R^2$  can be -OH or =O; and/or  $R^8$  can also be hydrogen;

and/or R can be COR or hydrogen; and/or R8 can have any desired number of carbon atoms;

and/or  $R^8$  and  $R^9$  can also form a ring; and/or any adjacent R groups, such as  $R^5$  and  $R^6$  or  $R^3$  and  $R^4$  can form a ring, such as those described for  $R^6$  and  $R^7$ ;

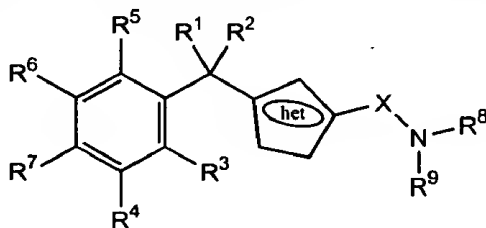
and/or  $R^6$  can be COR; and/or the (het) group can be substituted or unsubstituted.

or  $R^8$  and/or  $R^9$  can be selected from heterocyclic groups or any compound that forms an amide bond with the nitrogen of Formula I;

or a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.

11. A pharmaceutical composition comprising:

(a) therapeutically effective amount of a compound of the Formula I:



where X is selected from C=O, C=S, S=O, and S(O)<sub>2</sub>;



is a 5-membered heterocyclic ring containing from 1 to 4 heteroatoms selected from N, O, and S, wherein the ring may be saturated, partially unsaturated, or fully unsaturated, and may be aromatic;

$R^1$  and  $R^2$  are independently selected from H and lower alkyl;

$R^3$  is selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl, CH<sub>2</sub>OR, OR, and C(O)OR, where R is selected from substituted and unsubstituted alkyl, alkenyl, alkynyl,

cycloalkyl, heterocycle, aryl, and heteroaryl, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

$R^4$  and  $R^5$  are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl,  $CH_2OR$ , OR, and  $C(O)OR$ , where R is as defined above; and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

$R^6$  and  $R^7$  are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl,  $CH_2OR$ , OR, and  $C(O)OR$ ; where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12; or  $R^6$  and  $R^7$  taken together with the atoms to which they are bonded form an optionally substituted 5- or 6-membered ring optionally having up to four heteroatoms selected from O, N, and S;

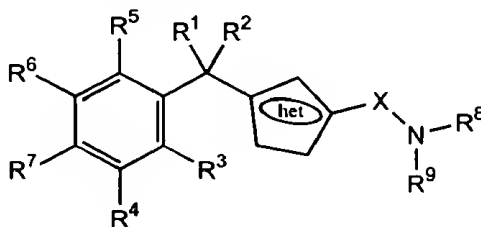
$R^8$  is a lipophilic moiety selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl,  $CH_2OR$ , OR, and  $C(O)OR$ , where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 6 to 20;

$R^9$  is selected from H and substituted and unsubstituted alkyl; or

a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof; and

(b) a pharmaceutically acceptable carrier or diluent.

12. A method for regulating the secretion of gonadotropins in mammals, comprising administering to a mammal in need of such regulation, a therapeutically effective amount of a compound of the Formula I:



where X is selected from  $C=O$ ,  $C=S$ ,  $S=O$ , and  $S(O)_2$ ;



is a 5-membered heterocyclic ring containing from 1 to 4 heteroatoms selected from N, O, and S, wherein the ring may be saturated, partially unsaturated, or fully unsaturated, and may be aromatic;

$R^1$  and  $R^2$  are independently selected from H and lower alkyl;

$R^3$  is selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl,  $CH_2OR$ , OR, and  $C(O)OR$ , where R is selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, and heteroaryl, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

$R^4$  and  $R^5$  are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl,  $CH_2OR$ , OR, and  $C(O)OR$ , where R is as defined above; and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12;

$R^6$  and  $R^7$  are independently selected from H, halogen, and substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl,  $CH_2OR$ , OR, and  $C(O)OR$ ; where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 1 to 12; or  $R^6$  and  $R^7$  taken together with the atoms to which they are bonded form an optionally substituted 5- or 6-membered ring optionally having up to four heteroatoms selected from O, N, and S;

$R^8$  is a lipophilic moiety selected from substituted and unsubstituted alkyl, alkenyl, alkynyl, cycloalkyl, heterocycle, aryl, heteroaryl,  $CH_2OR$ , OR, and  $C(O)OR$ , where R is as defined above, and where the total number of carbon atoms present (not including any optional substituents) ranges from 6 to 20;

$R^9$  is selected from H and substituted and unsubstituted alkyl; or

a pharmaceutically acceptable salt, multimer, prodrug, or active metabolite thereof.